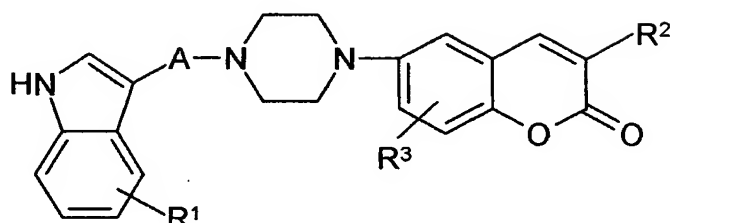


# Patent Claims

## 1) Compounds of the formula I



in which

R<sup>1</sup> is H, OH, CN, Hal, CONHR, OB, CO<sub>2</sub>B, CF<sub>3</sub>, NR<sub>2</sub>, NRCOR, NRCOOR or NRCONR<sub>2</sub>,

R<sup>2</sup> is NR<sub>2</sub>, NRCOR, NRCOOR, NRCONR<sub>2</sub>, NO<sub>2</sub>, NRSO<sub>2</sub>R<sub>2</sub>, NRCSR or NRCSNR<sub>2</sub>,

R<sup>3</sup> is H, OH, CN, Hal, CONHR, OB, CO<sub>2</sub>B, CF<sub>3</sub>, NO<sub>2</sub>, NR<sub>2</sub>, NRCOR, NRCOOR or NRCONR<sub>2</sub>,

R, independently of one another, are H, B, Het or Ar,

A is a straight-chain or branched, mono- or polyunsaturated carbon chain having 2, 3, 4, 5, or 6 C atoms,

B is a straight-chain or branched alkyl radical having 1, 2, 3, 4, 5 or 6 C atoms,

and pharmaceutically usable prodrugs, derivatives, solvates, stereoisomers and salts thereof, and mixtures thereof in all ratios.

2) Compounds of the formula I according to Claim 1, characterised in that the radical R<sup>1</sup> is CN or Hal, preferably CN.

3) Compounds of the formula I according to Claim 1 and/or 2, characterised in that the radical R<sup>3</sup> is H.

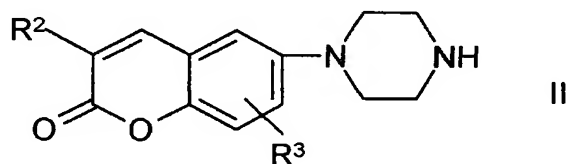
- 4) Compounds of the formula I according to one or more of Claims 1 to 3, characterised in that the radical  $R^2$  is NRCOR or NRCOOR.
- 5) Compounds of the formula I according to one or more of Claims 1 to 4, characterised in that A is  $(CH_2)_m$ , where  $m = 2, 3, 4, 5$  or 6, preferably 4.
- 6) Compounds of the formula I according to one or more of Claims 1 to 5, characterised in that the radical  $R^1$  is CN or Hal, where CN is preferred, and  $R^3$  is H.
- 7) Compounds of the formula I according to one or more of Claims 1 to 6, characterised in that  $R^1$  is CN,  $R^3$  is H, and A is  $(CH_2)_m$ , where  $m = 4$ .
- 8) Compounds of the formula I according to one or more of Claims 1 to 7, characterised in that the radical  $R^1$  is in position 5 of the indole radical.
- 9) Compounds of the formula I selected from the group
- N-(6-{4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)methylamide,
- ethyl (6-{4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)carbamate,
- methyl N-(6-{4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)carbamate,

N-(6-{4-[4-(5-cyano-1H-indol-3-yl)butyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)-2,2-dimethylpropionamide,

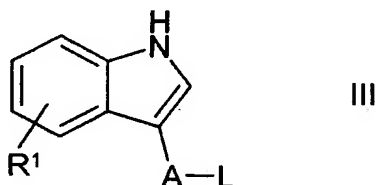
3-{4-[4-(3-amino-2-oxo-2H-chromen-6-yl)piperazin-1-yl]butyl}-1H-indole-5-carbonitrile,

and pharmaceutically usable prodrugs, derivatives, solvates, stereoisomers and salts thereof.

10) Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 9, characterised in that a compound of the formula II

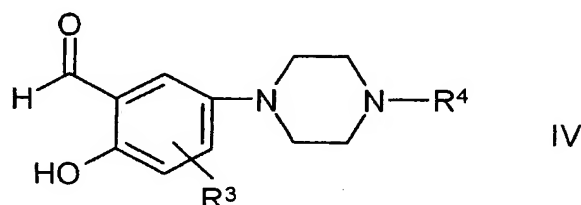


in which R<sup>2</sup> and R<sup>3</sup> are as defined in Claim 1, is reacted with a compound of the formula III



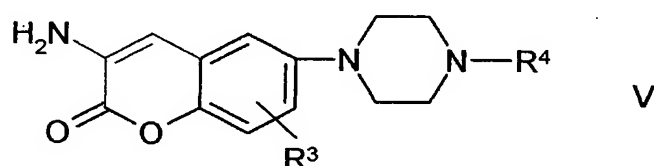
in which R<sup>1</sup> and A are as defined in Claim 1, and L is Cl, Br, I, OH or a reactively esterified OH group or another readily nucleophilically substitutable leaving group.

11) Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 9, characterised in that a compound of the formula IV



in which  $R^3$  is as defined in Claim 1, and  $R^4$  is an amino-protecting group or H, is reacted, in a Michael-analogous reaction, with ethyl nitroacetate and diethylammonium chloride, and the nitro group is subsequently reduced, to give the compound of the formula V

15



and the compound of the formula V is reacted with a compound conforming to the formula III.

25

12) Compounds of the formula I according to one or more of Claims 1 to 7 as medicaments.

30

13) Medicament comprising an effective amount of a compound of the formula I according to one or more of Claims 1 to 9, optionally in addition to one or more inert excipients, adjuvants and/or diluents.

35

14) Medicament according to Claim 13, characterised in that at least one further medicament active ingredient is present.

5 15) Process for the preparation of a medicament according to Claim 13 or 14, characterised in that a compound of the formula I according to one or more of Claims 1 to 9 and, if desired, a further medicament active ingredient is incorporated into one or more inert excipients and/or diluents by non-chemical methods.

10 16) Use of the compounds of the formula I according to one or more of Claims 1 to 9 for the preparation of a medicament for the prophylaxis and/or therapy of diseases in which 5-HT plays a role.

15 17) Use of the compounds of the formula I according to Claim 16, characterised in that the diseases are selected from the group depression, strokes, cerebral ischaemia, extrapyramidal motor side effects of neuroleptics and of Parkinson's disease, Alzheimer's disease, amyotrophic lateral sclerosis, brain and spinal cord trauma,  
20 obsessive-compulsive disorder, sleeping disorders, tardive dyskinesia, learning disorders, age-related memory disorders, eating disorders, such as bulimia, and/or sexual dysfunctions.

25 18) Medicament kit consisting of separate packs of  
a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 9 and  
b) an effective amount of a further medicament active ingredient.

30

35